G1 N, NH, NH2, Hy, OH

Structure attributes must be viewed using STN Express query preparation.

=> s l1 SAMPLE SEARCH INITIATED 16:26:11 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 355 TO ITERATE

100.0% PROCESSED 355 ITERATIONS 2 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 5970 TO 8230 PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 sss full FULL SEARCH INITIATED 16:26:21 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 6925 TO ITERATE

100.0% PROCESSED 6925 ITERATIONS 38 ANSWERS SEARCH TIME: 00.00.02

L3 38 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
140.28 140.49

FILE 'CAPLUS' ENTERED AT 16:26:30 ON 24 APR 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

Habte <04/24/2002

Page 4 10/018,443

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FILE COVERS 1907 - 24 Apr 2002 VOL 136 ISS 17 FILE LAST UPDATED: 23 Apr 2002 (20020423/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s 13

L4

10 L3

=> d ibib abs hitstr tot

ANSWER 1 OF 10 CAPLUS COPYRIGHT 2002 ACS L4

ACCESSION NUMBER: 2001:628707 CAPLUS

DOCUMENT NUMBER:

135:195572

TITLE:

Method for preparation of indole-type compounds

INVENTOR(S):

Henkelmann, Jochem; Arndt, Jonderko

PATENT ASSIGNEE(S):

Basf A.-G., Germany

SOURCE:

Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001233855	A2	20010828	JP 2001-49221	20010223
DE 10009000	<b>A1</b>	20010830	DE 2000-10009000	20000225
US 2001037031	A1	20011101	US 2001-782310	20010214
EP 1127874	A2	20010829	EP 2001-103687	20010223
R: AT. BE.	CH. DE	. DK. ES. FR	. GB. GR. TT. LT. LII	NT SE MC

IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:

DE 2000-10009000 A 20000225

OTHER SOURCE(S):

CASREACT 135:195572; MARPAT 135:195572

GI

AB The title compds. [I; A = hydrocarbon group which forms, together with the

carbon atoms to which they are bonded, (un) substituted mono- or polycyclic

arom. group optionally possessing .gtoreq.1 heteroatoms consisting of N, O, and S; R1, R2 = H, linear or branched satd. aliph. C1-20 hydrocarbon group, linear or branched alkyl unsatd. C2-20 hydrocarbon group, optionally alkyl-substituted (un)satd. alicyclic C3-20 hydrocarbon group, or C5-20 arom. hydrocarbon group alkyl, each of which is optionally substituted and possesses .gtoreq.1 heteroatoms consisting of halo, N, P, O, S, Sn, and B in the mol. skeleton] are prepd. by cyclization of alkynylaniline or .alpha.-amino-.beta.-alkynylheterocycles (II; R1, R2 = same as above; R1, R2, or a is optionally bonded to an org. or inorg. carrier) using a Na, K, Rb, or Cs compd. in a polar aprotic solvent.

This

process gives substituted indoles by a simple method in high yields. Thus, a soln. of 97 mg 2-phenylethynylaniline in N-methylpyrrolidone was added to 1.05 mmol potassium tert-butoxide in 4 mL N-methylpyrrolidone

and

vigorously stirred at 25.degree. for 4 h to give 79% 2-phenylindole. Similarly prepd. were pyrrolopyridine, pyrrolopyrimidine, pyrroloquinoline, etc.

IT 357219-39-7DP, MBHA resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in polar aprotic solvent)

RN 357219-39-7 CAPLUS

CN Benzamide, 4-(1H-indol-2-yl)- (9CI) (CA INDEX NAME)

IT 357219-39-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal

10/018,443 Page 6

compd. in polar aprotic solvent)

RN 357219-39-7 CAPLUS

CN Benzamide, 4-(1H-indol-2-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: \\ \( \frac{2001:51}{6943} \) CAPLUS

DOCUMENT NUMBER: 135:298171

TITLE: Novel bone antiresorptive agents that selectively

inhibit the osteoclast V-H+-ATPase

AUTHOR(S): Farina, Carlo; Gagliardi, Stefania; Nadler, Guy;

Morvan, Marcel; Parini, Carlo; Belfiore, Pietro;

Visentin, Luciano; Gowen, Maxine

CORPORATE SOURCE: SmithKline Beecham SpA, Milan, 20021, Italy

SOURCE: Farmaco (2001), 56(1-2), 113-116 CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Elsevier Science S.A.

DOCUMENT TYPE: Journal LANGUAGE: English

The vacuolar proton pump (V-ATPase) located on the plasma membrane of the AB osteoclast is a potential mol. target for the discovery of novel bone antiresorptive agents useful for the treatment of osteoporosis. to design novel compds. able to selectively inhibit the osteoclast V-ATPase we firstly identified the minimal structural requirements of bafilomycin Al, a macrolide antibiotic which potently inhibits all V-ATPases. This information allowed the design of 2-(indole) pentadienamide derivs. whose optimization led to a novel class of potent inhibitors that demonstrated a high degree of selectivity for the osteoclast V-ATPase. The most interesting deriv., SB-242784, was able to inhibit bone resorption by human osteoclasts in vitro and to completely prevent ovariectomy-induced bone loss in rats when administered orally at 10 mg kg-1 day-1. Structure activity relationships of this class of compds. were investigated further by replacing the 2,4-pentadienoyl chain with suitable spacers able to maintain the correct orientation and distance between the indole ring and the amide moiety.

IT 229480-96-0 229480-97-1 229480-98-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(structure activity relationships of bone antiresorptive agents that inhibit osteoclast vacuolar H+-ATPase)

RN 229480-96-0 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-N-(1,2,2,6,6-pentamethyl-4-

Habte <04/24/2002

piperidinyl) - (9CI) (CA INDEX NAME)

229480-97-1 CAPLUS RN

Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-methoxy-N-(1,2,2,6,6-CNpentamethyl-4-piperidinyl) - (9CI) (CA INDEX NAME)

229480-98-2 CAPLUS RN

Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-2-methoxy-N-(1,2,2,6,6-CN pentamethyl-4-piperidinyl) - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR 15

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

CAPLUS COPYRIGHT 2002 ACS ANSWER 3 OF 10

ACCESSION NUMBER:

2001:31492 CAPLUS

DOCUMENT NUMBER:

134:86164

TITLE:

Preparation of indole derivatives for the treatment <04/24/2002 DWN WOND

of

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10/018,443
```

## Page 8

osteoporosis

INVENTOR(S): Farina, Carlo; Gagliardi, Stefania; Novella, Pietro

Α.

т.

PATENT ASSIGNEE(S): SmithKline Beecham S.p.A., Italy

SOURCE:

PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KI			KI	ND :	D DATE			APPLICATION NO.				ο.	DATE				
									-	- <b></b> -							
	WO 2001	0023	88	Α	1	2001	0111		W	20	00-E	P567	2	2000	0616		
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,
		CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	ΗU,
		ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,
		SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,
		ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM					
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZW,	ΑT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG			
PRIORITY APPLN. INFO.: GB 1999-14371 A 19990618																	
OTHE	R SOURCE	(S):			MAR	PAT	134:	8616	4								
GT																	

$$R^{1}$$
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 

AB The title compds. [I; R1, R2 = alkoxy, halo; R3, R4 = H, alkoxy, arylalkoxy, etc.; R5 = NR6R7 (wherein R6, R7 = H, (un)substituted alkyl, heterocyclyl)] which are selective for mammalian osteoclasts, acting to

<04/24/2002

10/018,443 Page 9

selectively inhibit their bone resorbing activity, and therefore are considered to be particularly useful for the treatment and/or prophylaxis of diseases assocd. with loss of bone mass, such as osteoporosis and related osteopenic diseases, Paget's disease, hyperparathyroidism and related diseases, were prepd. E.g., a multi-step synthesis of the indole II was given. The compds. I are able to inhibit bafilomycin-sensitive ATPase of chicken osteoclast in a range from 50 nM to 2 .mu.M and of

osteoclast in a range from 30 nM to 5 .mu.M. The compds. I are also considered to possess antitumor activity, antiviral activity (for example against Semliki Forest, Vesicular Stomatitis, Newcastle Disease,

Influenza

human

A and B, HIV viruses), antiulcer activity (for example the compds. may be useful for the treatment of chronic gastritis and peptic ulcer induced by Helicobacter pylori) immunosuppressant activity, antilipidemic activity, antiatherosclerotic activity and to be useful for the treatment of AIDS and Alzheimer's disease. Furthermore, the compds. I are also considered useful in inhibiting angiogenesis i.e. the formation of new blood vessels which is obsd. in various types of pathol. conditions (angiogenic diseases) such as rheumatoid arthritis, diabetic retinopathy, psoriasis and solid tumors.

IT 318262-43-0P 318262-44-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of indole derivs. for the treatment of osteoporosis)

RN 318262-43-0 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-(phenylmethoxy)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 318262-44-1 CAPLUS

CN Benzamide,

4-(5,6-dichloro-1H-indol-2-yl)-3-hydroxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

Habte <04/24/2002

318262-59-8P 318262-60-1P 318262-61-2P

318262-62-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

RN 318262-42-9 CAPLUS

CN Benzamide,

4-(5,6-dichloro-1H-indol-2-yl)-3-ethoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 318262-45-2 CAPLUS

CN Benzamide,

4-(5,6-dichloro-1H-indol-2-yl)-3-propoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 318262-46-3 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-methoxy-N-[3-[4-(3-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{H} \\ \text{C1} \end{array}$$

RN 318262-47-4 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-methoxy-N-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 318262-48-5 CAPLUS

CN Benzamide,

4-(5,6-dichloro-1H-indol-2-yl)-3-methoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 318262-49-6 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-ethoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 318262-50-9 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-ethoxy-N-3-pyridinyl- (9CI) (CA INDEX NAME)

RN 318262-51-0 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-ethoxy-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 318262-52-1 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-ethoxy-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 318262-53-2 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-2,5-dimethoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 318262-54-3 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-2,5-dimethoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 318262-55-4 CAPLUS

CN Benzamide, 4-(6-chloro-5-methoxy-1H-indol-2-yl)-3-ethoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 318262-56-5 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[4-(5,6-dichloro-1H-indol-2-yl)-3-methoxybenzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 318262-57-6 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[4-(5,6-dichloro-1H-indol-2-yl)-3-methoxybenzoyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & MeO & \\ H & N \\ C-NH & \end{array}$$

RN 318262-58-7 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[3-(carboxymethoxy)-4-(5,6-dichloro-1H-indol-2-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)

RN 318262-59-8 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[4-(5,6-dichloro-1H-indol-2-yl)-3-(2-hydroxyethoxy)benzoyl]amino]- (9CI) (CA INDEX NAME)

RN 318262-60-1 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[3-(3-aminopropoxy)-4-(5,6-dichloro-1H-indol-2-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)

C1 
$$\frac{H}{N}$$
  $C-NH$   $CH_2)_3-NH_2$   $C-NH$   $C-NH$   $CH_2)_4-CO_2H$ 

RN 318262-61-2 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[4-(5,6-dichloro-1H-indol-2-yl)-3-[2-(dimethylamino)ethoxy]benzoyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{H} \\ \text{C1} \\ \\ \text{C} \\ \text{C} \\ \text{NH} \\ \\ \text{O} \\ \\ \text{(CH2)} \text{ $_4$-CO_2H} \\ \end{array}$$

RN 318262-62-3 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[4-(5,6-dichloro-1H-indol-2-yl)-3-(2,3-dihydroxypropoxy)benzoyl]amino]- (9CI) (CA INDEX NAME)

C1 
$$C = CH_2 - CH - CH_2 - OH$$
 $C = CH_2 - CH - CH_2 - OH$ 
 $C = CH_2 - CH - CH_2 - OH$ 
 $C = CH_2 - CH - CH_2 - OH$ 
 $C = CH_2 - CH - CH_2 - OH$ 
 $C = CH_2 - CH - CH_2 - OH$ 

IT 229481-19-0P 318262-63-4P 318262-65-6P 318262-70-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of indole derivs. for the treatment of osteoporosis)

RN 229481-19-0 CAPLUS

CN Benzoic acid, 4-(5,6-dichloro-1H-indol-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{H} & \text{CO}_2\text{H} \\ \hline \\ \text{Cl} & \text{OMe} \end{array}$$

RN 318262-63-4 CAPLUS

CN Benzoic acid, 4-(5,6-dichloro-1H-indol-2-yl)-3-ethoxy- (9CI) (CA INDEX NAME)

RN 318262-65-6 CAPLUS

CN Benzoic acid, 4-(5,6-dichloro-1H-indol-2-yl)-2,5-dimethoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{Cl} \\ \text{M} \\ \text{OMe} \\ \end{array}$$

RN 318262-70-3 CAPLUS

CN Benzoic acid, 4-(5,6-dichloro-1H-indol-2-yl)-3-(phenylmethoxy)- (9CI)

(CA

INDEX NAME)

$$C1$$
 $Ph-CH_2-O$ 
 $CO_2H$ 

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:460415 CAPLUS

DOCUMENT NUMBER: 131:87816

TITLE: Preparation of indole derivatives useful a.o. for the

treatment of osteoporosis

INVENTOR(S): Gagliardi, Stefania; Nadler, Guy Marguerite Marie

Gerard; Novella, Pietro

PATENT ASSIGNEE(S): Smithkline Beecham Laboratoires Pharmaceutiques, Fr.;

Smithkline Beecham S.P.A.

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
PATENT NO.
                       KIND DATE
                                              APPLICATION NO. DATE
                              -----
                                              -----
                       - - - -
                                         WO 1998-EP8561 19981217
     WO 9933822 A1 19990708
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
              TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
              FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
              CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                        AA 19990708 CA 1998-2315723 19981217
     CA 2315723
     AU 9927154
                        A1
                              19990719
                                              AU 1999-27154 19981217
                                             BR 1998-14403
                              20001010
                                                                 19981217
     BR 9814403
                        Α
                              20001011 EP 1998-966951 19981217
     EP 1042316
                       A1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, FI
                              20000622
                                               ZA 1998-11758
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                       Α
     NO 2000003315
                        Α
                              20000623
                                              NO 2000-3315
                                                                 20000623
PRIORITY APPLN. INFO.:
                                            EP 1997-403154 A 19971224
                                           WO 1998-EP8561 W 19981217
                           MARPAT 131:87816
OTHER SOURCE(S):
     For diagram(s), see printed CA Issue.
AB
     The title compds. I [A represents an optionally substituted aryl group or
     an optionally substituted heterocyclyl group; Ra = CONRsRt wherein Rs and
     Rt each independently represents hydrogen, alkyl, substituted alkyl,
     optionally substituted alkenyl, optionally substituted aryl, optionally
     substituted arylalkyl, optionally substituted heterocyclyl, etc.; R1, R2
     H, hydroxy, amino, alkoxy, optionally substituted aryloxy, optionally
     substituted benzyloxy, etc.; R3 = alkanoyl, alkyl, aminoalkyl,
     hydroxyalkyl, carboxyalkyl, carbalkoxyalkyl, carbamoyl, alkylsulfonyl,
     arylsulfonyl], useful for the treatment of osteoporosis, were prepd.
     E.g., 4-(5,6-dichloro-1H-indol-2-yl)-N-(1,2,2,6,6-pentamethylpiperidin-4-
     yl) benzenamine was prepd. I were able to inhibit bafilomycin-sensitive
     ATPase of chicken osteoclast and of human osteoclast.
TT
     229480-96-0P 229480-97-1P 229480-98-2P
     229481-01-0P 229481-03-2P 229481-04-3P
     229481-07-6P
     RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (prepn. of indoles useful for the treatment of osteoporosis)
     229480-96-0 CAPLUS
RN
CN
     Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-N-(1,2,2,6,6-pentamethyl-4-
     piperidinyl) - (9CI) (CA INDEX NAME)
```

RN 229480-97-1 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-methoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 229480-98-2 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-2-methoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 229481-01-0 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-N-[3-(dimethylamino)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

RN 229481-03-2 CAPLUS

CN Benzamide,

N-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4-(5,6-dichloro-1H-indol-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

RN 229481-04-3 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-N-[3-[4-(3-hydroxyphenyl)-1-piperazinyl]propyl]-3-methoxy- (9CI) (CA INDEX NAME)

C1 
$$\frac{MeO}{H}$$
  $C-NH-(CH_2)_3-N$   $OH$ 

RN 229481-07-6 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-methoxy-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

IT 229481-08-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of indoles useful for the treatment of osteoporosis)

RN 229481-08-7 CAPLUS

CN Benzoic acid, 4-(5,6-dichloro-1H-indol-2-yl)-2-methoxy- (9CI) (CA INDEX NAME)

IT 229481-12-3P 229481-19-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of indoles useful for the treatment of osteoporosis)

RN 229481-12-3 CAPLUS

CN Benzoic acid, 4-(5,6-dichloro-1H-indol-2-yl)- (9CI) (CA INDEX NAME)

$$C1$$
 $H$ 
 $N$ 
 $CO_2H$ 

RN 229481-19-0 CAPLUS

CN Benzoic acid, 4-(5,6-dichloro-1H-indol-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1994:557471 CAPLUS

DOCUMENT NUMBER: 121:157471

TITLE: Indol-2-yltributylstannane: A Versatile Reagent for

2-Substituted Indoles

AUTHOR(S): Labadie, Sharada S.; Teng, Edmond

CORPORATE SOURCE: Syntex Discovery Research, Palo Alto, CA, 94304, USA

SOURCE: J. Org. Chem. (1994), 59(15), 4250-4

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:157471

GI

AB A general method for 2-substituted indoles via the palladium-catalyzed coupling of indol-2-ylstannanes is described. (N-Methylindol-2-yl)tributylstannane (I) reacts with a variety of electrophiles under very mild conditions. [N-(tert-Butoxycarbonyl)indol-2-yl]tributylstannane is much less reactive in the coupling reactions and reacts only with certain activated electrophiles. N-[[(Trimethylsilyl)ethoxy]methylindol-2-yl]tributylstannane behaves similarly to I and the removal of the [(trimethylsilyl)ethoxy]methyl group can be achieved with tetra-n-butylammonium fluoride in DMF in the presence of ethylenediamine.

IT 58995-75-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 58995-75-8 CAPLUS

CN Benzoic acid, 4-(1H-indol-2-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1982:81337 CAPLUS

DOCUMENT NUMBER: 96:81337

TITLE: Indole fungicides

PATENT ASSIGNEE(S): Kuraray Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56135404	A2	19811022	JP 1980-39938	19800327

GI

Ι

$$\mathbb{R}^2$$
  $\mathbb{R}^2$   $\mathbb{R}^2$ 

- AB Indoles I (R1 = quaternary alkyl or II where X = halo or alkyl; R2 = H or alkyl) are fungicides. Thus, 1000 ppm I (R1 = C(Me)3; R2 = Me) [1805-65-8] controlled Sphaerotheca fuliginea on cucumber. Syntheses of
- are described.
- IT 80746-62-9P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and fungicidal activity of)

- RN 80746-62-9 CAPLUS
- CN Benzoic acid, 4-(1H-indol-2-yl)-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1981:134148 CAPLUS

DOCUMENT NUMBER: 94:134148

TITLE: Indole derivatives as fungicides

PATENT ASSIGNEE(S): Kuraray Co., Ltd., Japan; Institute of Physical and

Chemical Research

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE: Ja FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 55151505 A2 19801126 JP 1979-59473 19790514

GI

or

$$R^4$$
 $R^5$ 
 $R^1$ 
 $R^2$ 
 $R^2$ 

AB Indoles I (R1 = H, alkyl, benzyl, halobenzyl, benzoyl, or alkylcarbonyl; R2 = C6H4Xn where X = H, halo, alkyl, alkoxy, OH, carboxyl, NO2, NH2, CN, or Ph and n = 1 or 2, naphthyl, etc.; R3 = H, halo, Ph, NO2, CN, alkyl-

benzyl-substituted NH2, alkoxy, formyl, etc.; R4 and R5 = H, halo, alkyl, alkoxy, NO2, NH2, etc.) are fungicides. Thus, 100 ppm I (R1, R3, R4, and R5 = H, R2 = C6H4Xn where X = H and n = 1) [948-65-2] controlled Sphaerotheca fuliginea on cucumber. Synthesis is given.

IT 58995-75-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and fungicidal activity of)

RN 58995-75-8 CAPLUS

CN Benzoic acid, 4-(1H-indol-2-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1979:35144 CAPLUS

DOCUMENT NUMBER:

90:35144

TITLE:

Fluorescent complexes of DNA with DAPI

(4',6-diamidine-2-phenyl indole dihydrochloride) or

DCI (4',6-dicarboxyamide-2-phenyl indole)

AUTHOR (S):

Kapuscinski, Jan; Skoczylas, Bogna

CORPORATE SOURCE:

M. Nencki Inst. Exp. Biol., Pol. Acad. Sci., Warsaw,

Pol.

SOURCE:

Nucleic Acids Res. (1978), 5(10), 3775-99

CODEN: NARHAD; ISSN: 0305-1048

DOCUMENT TYPE:

Journal

LANGUAGE:

English

DCI and DAPI were reacted with double-helical DNA to det. if the dye-DNA complex was formed by intercalation. The influence of pH, viscosity, and different concns. of Na dodecyl sulfate or NaCl on the optical and fluorescent properties and the changes in thermal transition of both dye complexes with DNA confirmed the affinity of the dyes to the double helix as well as their stabilizing influence on the secondary structure of DNA. Binding studies showed that the dyes are strongly bound to DNA, although the no. of binding sites is small. The fluorescent properties of DAPI

and

DCI complexes with DNA are consistent with intercalating binding mechanisms of these dyes. The eventual ionic or H bonds of dyes outside the DNA helix did not change their fluorescent properties on binding to DNA.

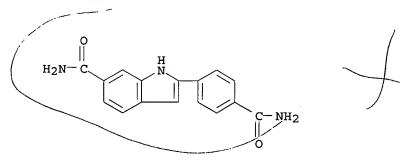
IT **65426-87-1DP**, DNA complex

RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PREP (Preparation)

(formation of, intercalation in)

RN 65426-87-1 CAPLUS

CN 1H-Indole-6-carboxamide, 2-[4-(aminocarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2002 ACS

10/018,443 Page 26

ACCESSION NUMBER: 1978:46557 CAPLUS

DOCUMENT NUMBER: 88:46557

TITLE: Effect of specific substrate-bound inhibitors on

restriction of the circular Col E1 DNA by Eco RI

endonuclease

AUTHOR(S): Stepien, Elzbieta; Dann, O.; Fikus, Magdalena;

Wierzchowski, K. L.

CORPORATE SOURCE: Inst. Biochem. Biophys., Pol. Acad. Sci., Warsaw,

Pol.

SOURCE: Stud. Biophys. (1978), 67, 135-6

CODEN: STBIBN

DOCUMENT TYPE: Journal LANGUAGE: English

AB The effects of 4,6'-diamidine-2-phenylindole (I) of 6 of its derivs. on DNA restriction and stabilization were studied. Restriction of Gol El supercoiled DNA by endonuclease EcoRI was stimulated at low I: DNA ratios (.ltoreq.0.5), whereas I becomes an efficient inhibitor above this limit. Poly[d(A-T)] is stabilized by I and its derivs. with 2 distinct melting transitions, suggesting .gtoreq.2 different types of interaction. There appears to be no direct correlation between the effects of this class of dyes on DNA stabilization and restriction.

IT 65426-87-1

RL: BIOL (Biological study)

(DNA restriction and stabilization in presence of)

RN 65426-87-1 CAPLUS

CN 1H-Indole-6-carboxamide, 2-[4-(aminocarbonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & H \\
H_2N-C & M \\
\hline
C-NH_2 \\
O\end{array}$$

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1976:164604 CAPLUS

DOCUMENT NUMBER: 84:164604

TITLE: 2-Phenylindole derivatives

INVENTOR(S): Pigerol, Charles; De Cointet de Fillain, Paul;

Nanthavong, Souli; Le Blay, Jacques

PATENT ASSIGNEE(S): Labaz, Fr.

SOURCE: Ger. Offen., 38 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

Habte <04/24/2002

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DE 2526317	A1	19760108		1975-2526317	
FR 2275461	A1	19760116	FR	1974-21042	19740618
FR 2275461	B1	19790209			
GB 1487659	Α	19771005	GB	1975-22747	19750523
DK 7502604	Α	19751219	DK	1975-2604	19750610
DK 144655	В	19820503			
DK 144655	С	19821011			
RO 72873	P	19820412	RO	1975-82484	19750610
RO 71963	P	19821026	RO	1975-91560	19750610
CS 216151	B2	19821029	CS	1975-4143	19750612
NL 7507135	A	19751222	NL	1975-7135	19750616
BE 830310	A1	19751217	BE	1975-157387	19750617
NO 7502155	Α	19751219	NO	1975-2155	19750617
NO 145507	В	19811228			
NO 145507	С	19820407			
SE 7506951	A	19751219	SE	1975-6951	19750617
SE 408707	C	19791011			
SE 408707	В	19790702			
CA 1044242	A1	19781212	CA	1975-229563	19750617
JP 51016659	A2	19760210	JP	1975-74965	19750618
ES 438684	A1	19770301	ES	1975-438684	19750618
AT 7504677	Α	19770715	AT	1975-4677	19750618
CH 619933	Α	19801031	CH	1975-7933	19750618
AT 352113	В	19790910	AT	1977-1696	19770314
AT 7701696	Α	19790215			
CH 618683	Α	19800815	CH	1978-6686	19780620
PRIORITY APPLN. INFO	O.:		FR 197	4-21042	19740618
			CH 197	5-7933	19750618
			AT 197	15-4677	19770314

GI

AB 2-Phenylindoles (I, R = dodecyloxy, OH, MeS, SH, CN, CONH2, CO2H, Ph, AcNH), useful as stabilizers for vinyl chloride polymers, were obtained in

26-70% yields by treatment of an acetophenone deriv. with PhNHNH2 to give a phenylhydrazone which was then cyclized by acid. Addnl. obtained were 10-76% I[R = OCHEt(CH2)4Me, OCH2CO2Et, Me2CHO, OCH2CO2H, OCHMeCH2CO2Et, PhCH2O, AcO, BzO, docosanoyloxy, NH2, BuS, dodecylthio, Me2CHS, cyclohexylthio, CH2:CHCH2O].

IT 58995-75-8P

Ι

RN 58995-75-8 CAPLUS

10/018,443

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CN Benzoic acid, 4-(1H-indol-2-yl)- (9CI) (CA INDEX NAME)

=> log y		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	44.29	184.78
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-6.20	-6 20

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